Structures: 1

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> d his
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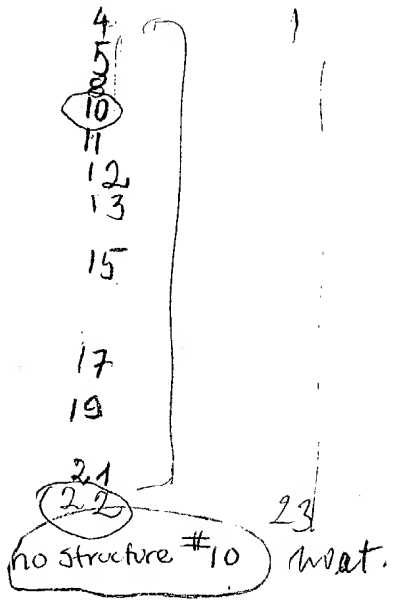

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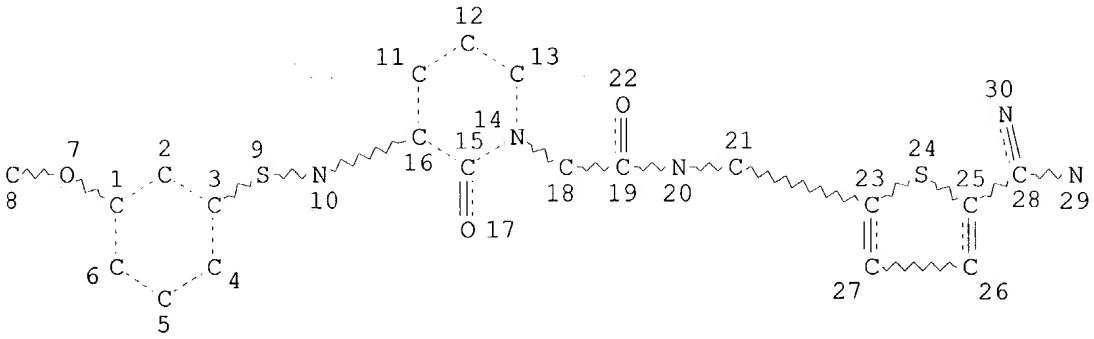

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SEARCH TIME: 00.00.01

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USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)
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FILE COVERS 1907 - 19 Mar 2003  VOL 138 ISS 12
FILE LAST UPDATED: 18 Mar 2003  (20030318/ED)

 This file contains CAS Registry Numbers for easy and accurate
 substance identification.

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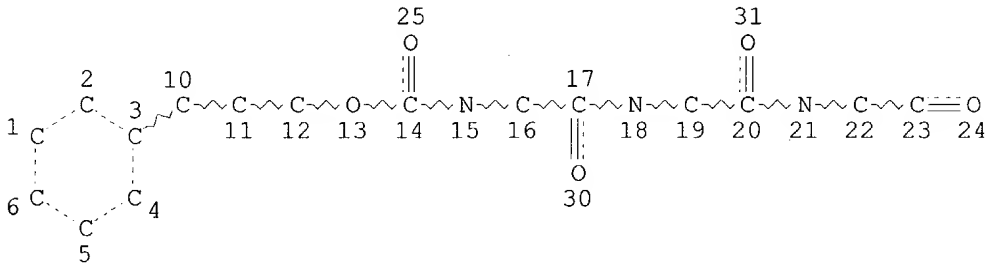


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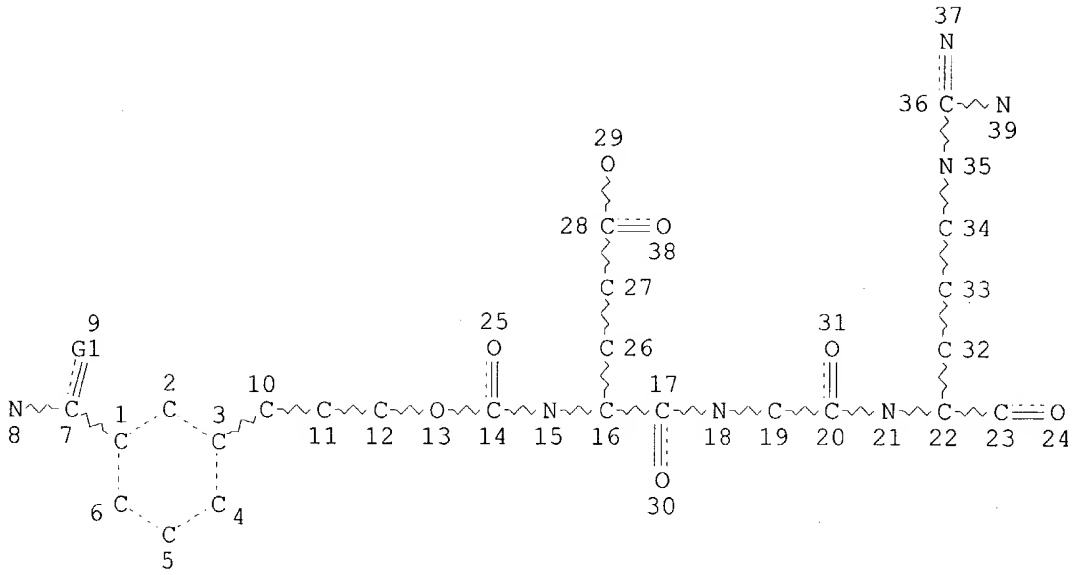

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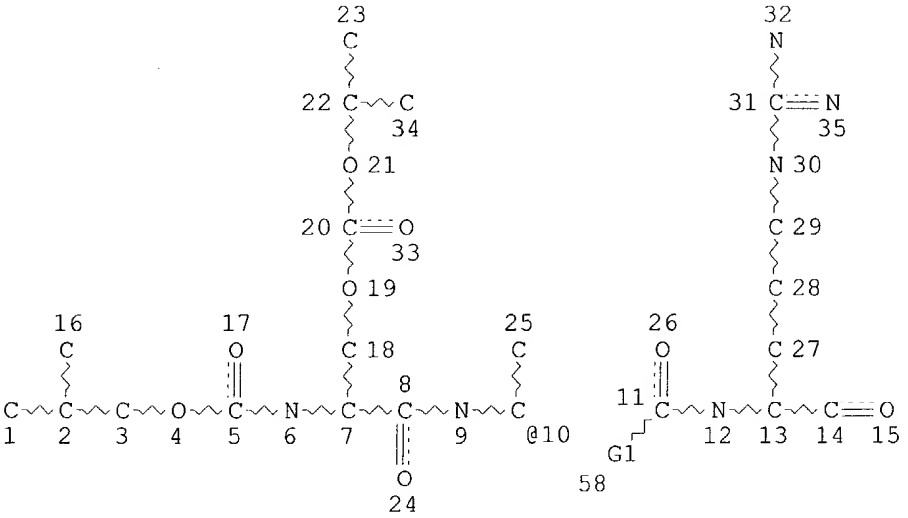

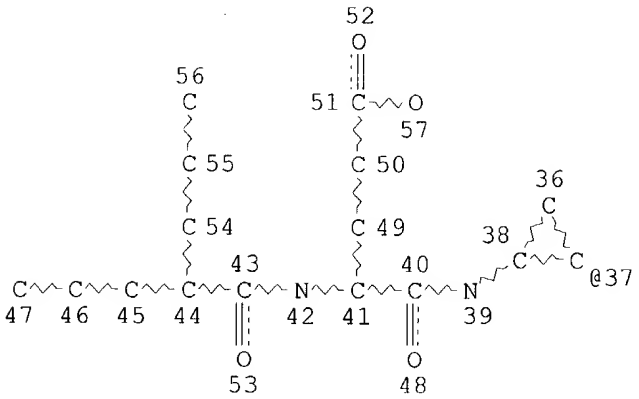

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DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

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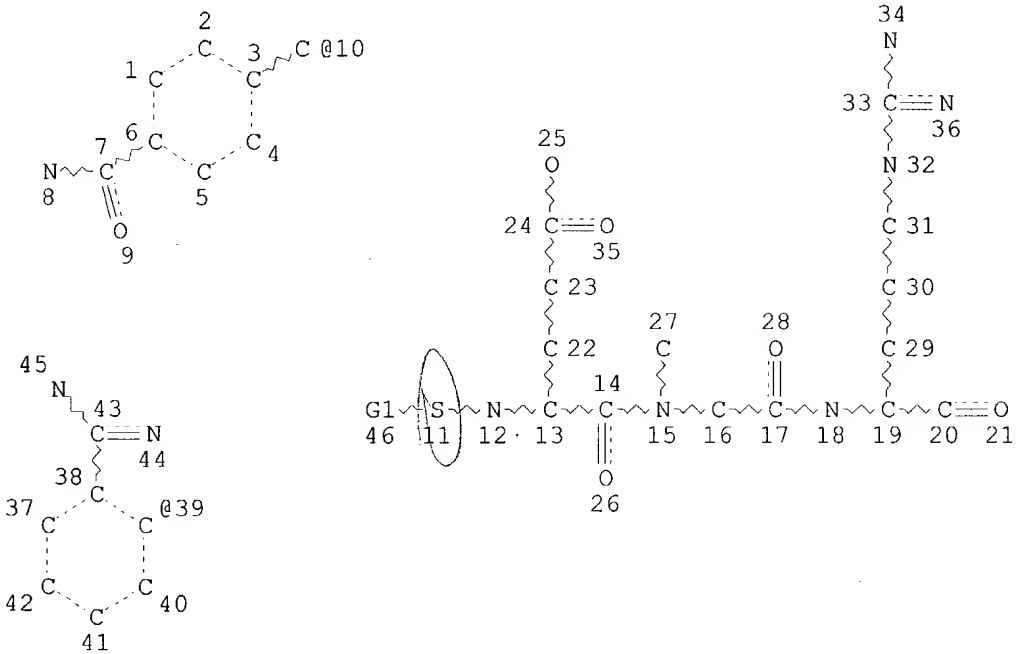

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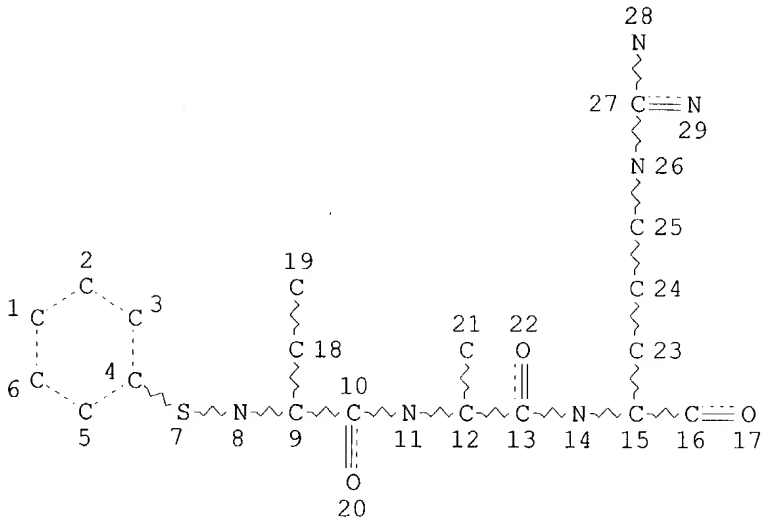

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GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 29

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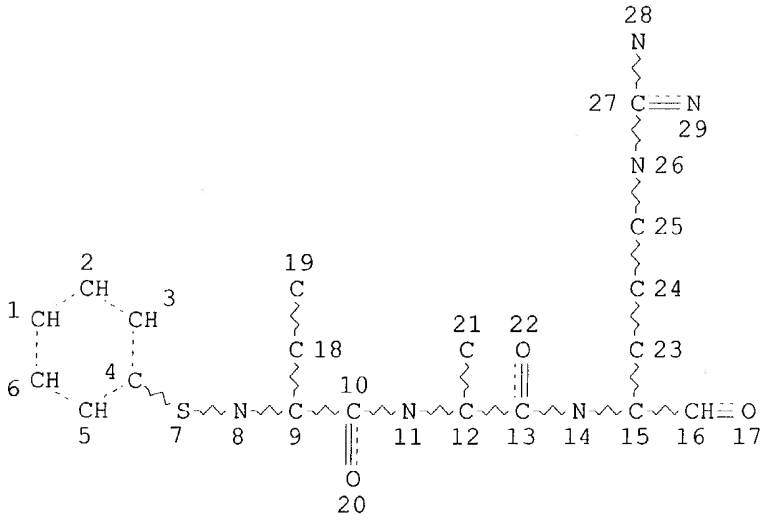

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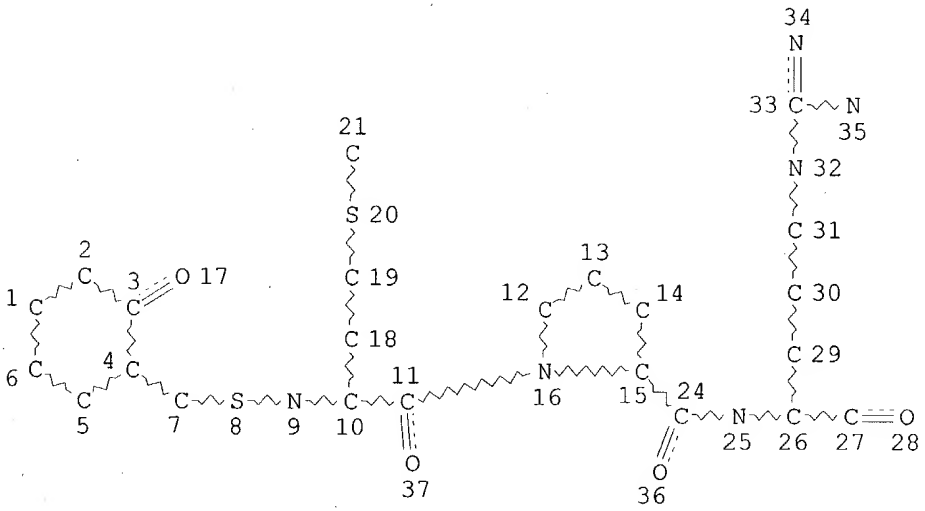

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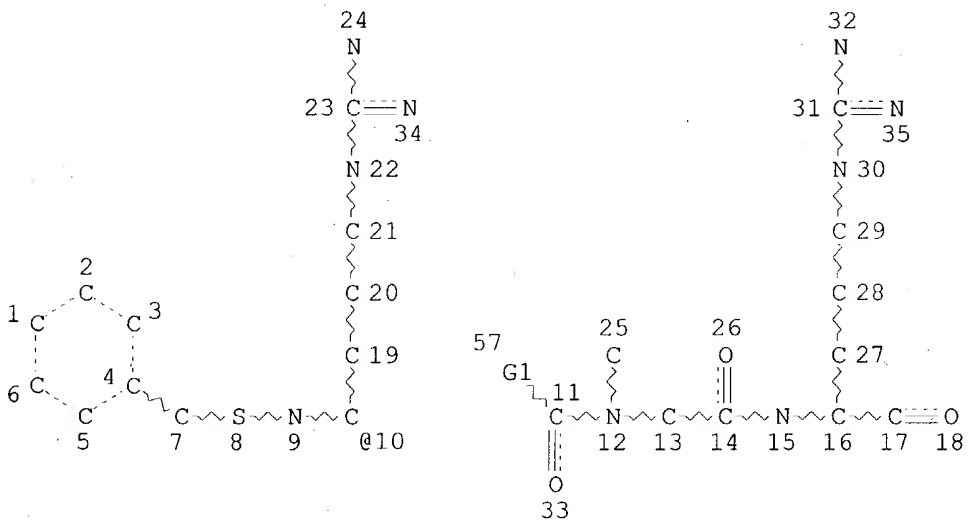

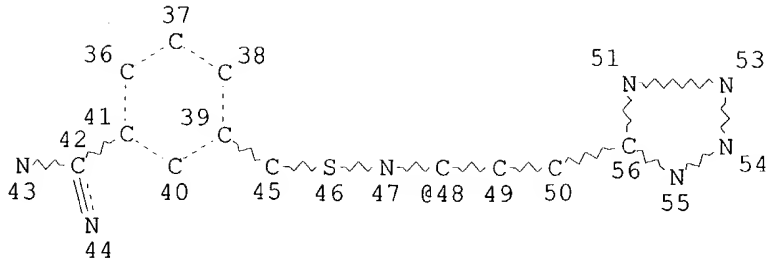

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DEFAULT ECLEVEL IS LIMITED

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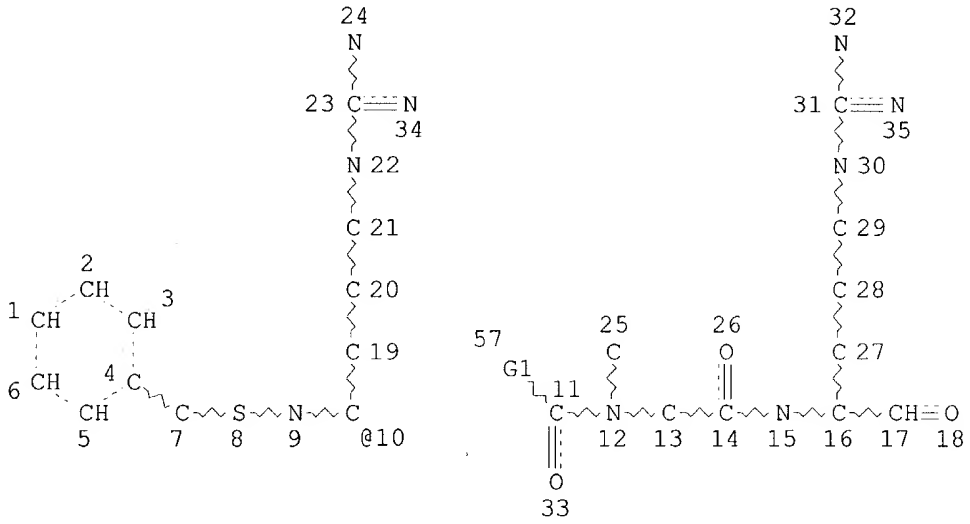

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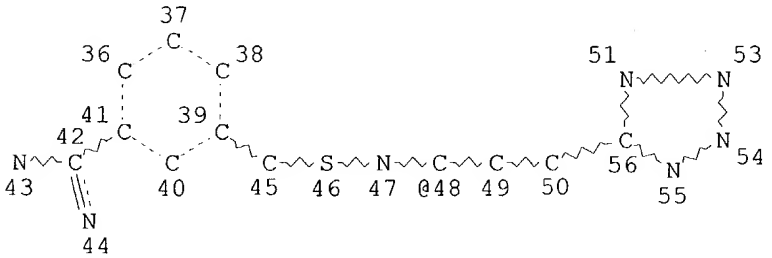

Page 2-A
VAR G1=10/48
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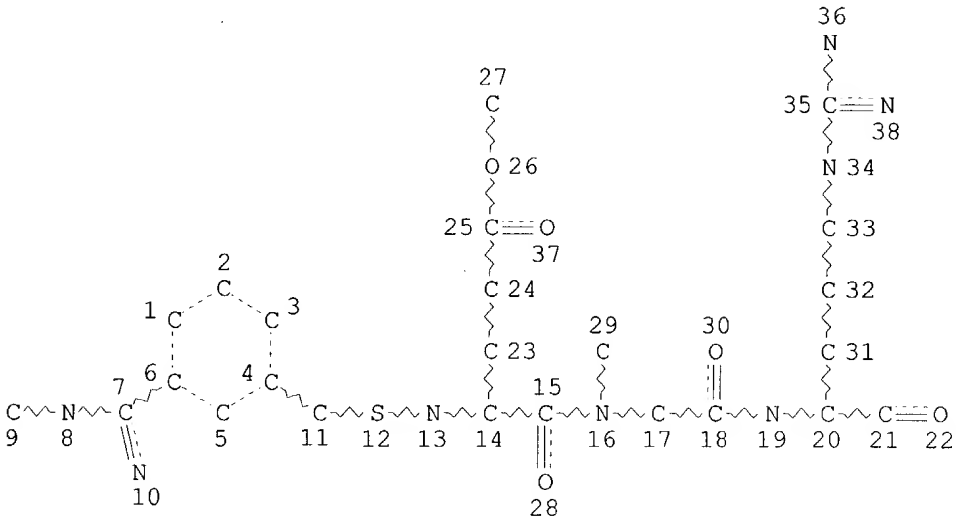

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=>

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L25 ANSWER 1 OF 8 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2003:203392 HCAPLUS
TITLE: Preparation of peptides as inhibitors of serine protease activity of matriptase or MTSP1
INVENTOR(S): Semple, Joseph E.; Coombs, Gary S.; Reiner, John E.; Ong, Edgar O.; Araldi, Gian Luca
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 34 pp., Cont.-in-part of Appl. No. PCT/US01/28137.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003050251	A1	20030313	US 2002-92004	20020305
WO 2002020475	A2	20020314	WO 2001-US28137	20010907

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
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PRIORITY APPLN. INFO.: US 2000-657986 A2 20000908
WO 2001-US28137 A2 20010907

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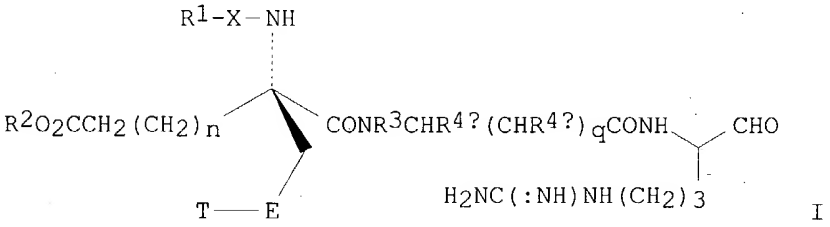

I

AB The invention provides compds. I [X = CO, CO2, CONH, SO2, SO2NH or a direct link; R1 = (un)substituted alkyl, cycloalkyl, aryl, heterocycloalkyl, H when X is CONH, SO2, SO2NH or a direct link, etc.; R2 = H, alkyl; n = 0-3; R3 = H, Me; R4a, R4b = H, alkyl; q = 0-2; when q = 0, R3 and R4a form prolyl or prolyl derivs., pipecolyl, or azetidine-2-carbonyl groups which are in the S-configuration; E is a 5- or 6-membered arom. ring having 0-2 ring heteroatoms; T is H, OH, CH2OH, alkyl, cyano, an amidino, guanidino, amino or carbamoyl deriv.] which inhibit serine protease activity of matriptase or MTSP1. Also provided are pharmaceutical compns. for treating conditions ameliorated by inhibition of matriptase or MTSP1. Thus, (R)-5-[3-(diaminomethyl)phenyl]-4-[(1-formyl-(S)-4-guanidinobutylcarbamoylmethyl)carbamoyl]-4-(methoxycarbonylamino)pentanoic acid tert-Bu ester was prepd. and showed IC50 < 100 nM for inhibition of matriptase activity.

IT **173656-55-8P 180312-25-8P 403669-25-0P 403669-26-1P 403669-29-4P 403669-32-9P 403669-33-0P 403669-34-1P 403669-37-4P 403669-39-6P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of peptides as inhibitors of serine protease activity of matriptase or MTSP1)

L25 ANSWER 2 OF 8 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:185072 HCAPLUS
DOCUMENT NUMBER: 136:232549
TITLE: Preparation of peptides as inhibitors of serine protease activity of matriptase or MTSP1
INVENTOR(S): Duncan, David F.; Madison, Edwin L.; Semple, Joseph Edward; Coombs, Gary Samuel; Reiner, John Eugene; Ong,

	Edgar O.; Araldi, Gian Luca
PATENT ASSIGNEE(S):	Corvas International, Inc., USA
SOURCE:	PCT Int. Appl., 82 pp.
	CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002020475	A2	20020314	WO 2001-US28137	20010907
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001088922	A5	20020322	AU 2001-88922	20010907
US 2003050251	A1	20030313	US 2002-92004	20020305

PRIORITY APPLN. INFO.:	US 2000-657986	A	20000908
	WO 2001-US28137	W	20010907

OTHER SOURCE(S): MARPAT 136:232549

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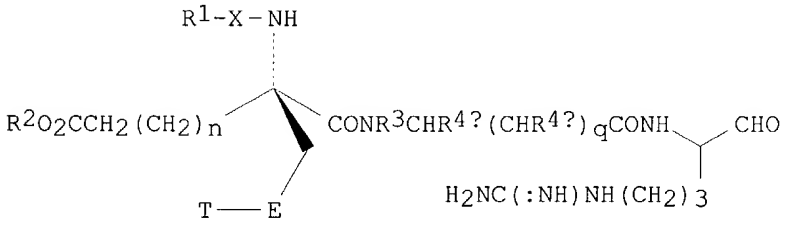

I

AB The invention provides compds. I [X = CO, CO2, CONH, SO2, SO2NH or a direct link; R1 = (un)substituted alkyl, cycloalkyl, aryl, heterocycloalkyl, H when X is CONH, SO2, SO2NH or a direct link, etc.; R2 = H, alkyl; n = 0-3; R3 = H, Me; R4a, R4b = H, alkyl; q = 0-2; when q = 0, R3 and R4a form prolyl or prolyl derivs., pipecolyl, or azetidine-2-carbonyl groups which are in the S-configuration; E is a 5- or 6-membered arom. ring having 0-2 ring heteroatoms; T is H, OH, CH2OH, alkyl, cyano, an amidino, guanidino, amino or carbamoyl deriv.] which inhibit serine protease activity of matriptase or MTSP1. Also provided are pharmaceutical compns. for treating conditions ameliorated by inhibition of matriptase or MTSP1. Thus, (R)-5-[3-(diaminomethyl)phenyl]-4-[(1-formyl-(S)-4-guanidinobutylcarbamoylmethyl)carbamoyl]-4-(methoxycarbonylamino)pentanoic acid tert-Bu ester was prepd. and showed IC50 < 100 nM for inhibition of matriptase activity.

IT **173656-55-8P 180312-25-8P 403669-25-0P 403669-26-1P 403669-29-4P 403669-32-9P 403669-33-0P 403669-34-1P 403669-37-4P 403669-39-6P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of peptides as inhibitors of serine protease activity of matriptase or MTSP1)

L25 ANSWER 3 OF 8 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:113118 HCAPLUS
DOCUMENT NUMBER: 132:152140
TITLE: Preparation of N-substituted glycine derivatives as enzyme inhibitors
INVENTOR(S): Abelman, Matthew Mark; Miller, Todd Anthony; Nutt, Ruth Foelsche
PATENT ASSIGNEE(S): Corvas International, Inc., USA
SOURCE: U.S., 67 pp., Cont.-in-part of U.S. 5,696,231.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6025472	A	20000215	US 1995-484509	19950607
US 5696231	A	19971209	US 1994-361794	19941221
CA 2207373	AA	19960627	CA 1995-2207373	19951221
WO 9619493	A1	19960627	WO 1995-US16866	19951221
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
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AU 716995	B2	20000316		
EP 801654	A1	19971022	EP 1995-944234	19951221
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CN 1171116	A	19980121	CN 1995-196925	19951221
HU 77524	A2	19980528	HU 1998-71	19951221
JP 10512550	T2	19981202	JP 1995-520031	19951221
NZ 300829	A	20010330	NZ 1995-300829	19951221

PRIORITY APPLN. INFO.:
US 1994-361794 A2 19941221
US 1995-484509 A 19950607
WO 1995-US16866 W 19951221

OTHER SOURCE(S): MARPAT 132:152140
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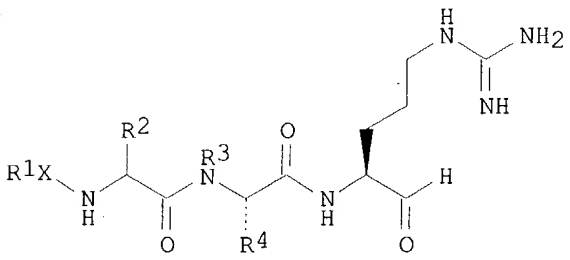


I

AB Glycine derivs. I [X = SO2, NR'SO2, CO, O2C, NHCO, P(O)R'', bond; R' = H, alkyl, aryl, aralkyl; R'' = NR', OR', R', SR'; R1 = H, substituted benzyl or naphthyl; R2 = H, tetrazol-5-ylalkyl, tetrazol-5-ylalkylsulfonylmethyl,

pyridin-3-ylalkyl, H, 3-guanidinopropyl, 2-methylsulfonylethyl, etc.; R3 = H, cycloalkyl, (un)substituted alkyl or aryl; R4 = H, (un)substituted alkyl or aryl] were prepd. as potent inhibitors of factor Xa. Thus, D-camphorsulfonyl-D-arginine-sarcosine-arginine aldehyde, prepd. by soln. phase methods, inhibited factor Xa catalytic activity with IC50 = 8.2 nM.

IT **180312-25-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-substituted glycine derivs. as enzyme inhibitors)

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 4 OF 8 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:30818 HCAPLUS
DOCUMENT NUMBER: 132:231498
TITLE: Design, synthesis and structure-activity relationship of a series of arginine aldehyde factor Xa inhibitors. Part 1: Structures based on the (D)-Arg-Gly-Arg tripeptide sequence
AUTHOR(S): Marlowe, Charles K.; Sinha, Uma; Gunn, Alice C.; Scarborough, Robert M.
CORPORATE SOURCE: COR Therapeutics, Inc., South San Francisco, CA, 94080, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2000), 10(1), 13-16
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A series of arginine aldehyde inhibitors was designed as transition state (TS) analogs based on the known factor Xa specific substrate Cbz-D-Arg-Gly-Arg-pNA. BnSO2-(D)Arg-Gly-Arg-H was found to be the most potent and selective inhibitor of factor Xa and prothrombinase activity in this series.

IT **180312-25-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PNU (Preparation, unclassified); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(design, synthesis and SAR of arginine aldehyde factor Xa inhibitors based on the Arg-Gly-Arg tripeptide sequence)

REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 5 OF 8 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:701469 HCAPLUS
DOCUMENT NUMBER: 127:346661
TITLE: Preparation of methionine sulfone and S-substituted cysteine sulfone derivatives as enzyme inhibitors
INVENTOR(S): Abelman, Matthew M.; Ardecky, Robert J.; Nutt, Ruth F.
PATENT ASSIGNEE(S): Corvas International, Inc., USA
SOURCE: U.S., 82 pp., Cont.-in-part of U.S. Ser. No. 229,298.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

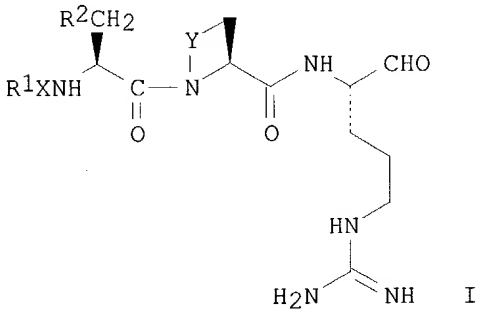
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5681844	A	19971028	US 1994-234811	19940428
US 5776927	A	19980707	US 1994-229298	19940418
WO 9528420	A1	19951026	WO 1995-US4954	19950418

W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

AU 9523609	A1	19951110	AU 1995-23609	19950418	
EP 765340	A1	19970402	EP 1995-917624	19950418	
EP 765340	B1	20000830			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE					
US 5658939	A	19970819	US 1995-423584	19950418	
JP 09512022	T2	19971202	JP 1995-527181	19950418	
AT 195947	E	20000915	AT 1995-917624	19950418	
US 5646165	A	19970708	US 1995-485826	19950606	
US 5770600	A	19980623	US 1995-473647	19950606	

PRIORITY APPLN. INFO.:	US 1994-229298	A2	19940418
	US 1994-234811	A	19940428
	US 1995-423584	A1	19950418
	WO 1995-US4954	W	19950418

OTHER SOURCE(S): MARPAT 127:346661
GI



I

AB Enzyme inhibitory title compds. I [X = CO, SO2, OSO2, NHSO2, alkyl-, aryl-, or aralkyliminosulfonyl; R1 = (un)substituted alkyl, alkenyl, aryl, aralkyl, or aralkenyl or perfluoroalkyl, perfluoroaryl, trimethylsilylalkyl; R2 = MeSCH2, MeSOCH2, MeSO2CH2 or derivs. from substitution at Me; Y = (CH2)n, where n = 1, 2, 3] or their pharmaceutically acceptable salts were prepd. Thus, N-(butanesulfonyl)-L-methioninesulfone-L-proline-L-argininal, prepd. via solid-phase reaction of N-(butanesulfonyl)-L-methioninesulfone-L-proline, showed IC50 values of 0.0016 and 0.199 .mu.M for inhibition of thrombin and plasmin, resp.

IT **173534-53-7P 173656-55-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of methionine sulfone and S-substituted cysteine sulfone derivs. as enzyme inhibitors)

L25 ANSWER 6 OF 8 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:574514 HCAPLUS
DOCUMENT NUMBER: 127:220992
TITLE: Preparation of methionine sulfone and S-substituted cysteine sulfone derivatives as thrombin or factor Xa inhibitors
INVENTOR(S): Abelman, Matthew Mark; Ardecky, Robert John; Nutt, Ruth Foelsche
PATENT ASSIGNEE(S): Corvas International Inc., USA

SOURCE: U.S., 88 pp., Cont.-in-part of U.S. Ser. No. 234,811, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5658939	A	19970819	US 1995-423584	19950418
US 5776927	A	19980707	US 1994-229298	19940418
US 5681844	A	19971028	US 1994-234811	19940428
US 5770600	A	19980623	US 1995-473647	19950606

PRIORITY APPLN. INFO.: US 1994-229298 A2 19940418
US 1994-234811 A2 19940428
US 1995-423584 A1 19950418
OTHER SOURCE(S): MARPAT 127:220992
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1 = alkyl, alkenyl, (substituted) aryl, heterocyclyl such as indole, etc.; X = CO, O2C, NHCO, SO2, O3S, NHSO2, etc.; R2 = CH2S(O)q(CH2)mZ where q = 0-2, m = 1-6 and Z = H, (substituted) CO2H, (substituted) CONH2; Y = (CH2)n where n = 1-3] were prepd. as thrombin or factor Xa inhibitors. Methionine sulfone II was prepd. from the resin-bound semicarbazone III (R = MBHA resin); III was coupled with Boc-Pro-OH and N-cyclohexylmethanesulfonyl-L-methionine sulfone, successively, followed by cleavage of the protected semicarbazone from the resin and hydrolysis of the semicarbazone to give II. II exhibited IC50 values of 0.00066 and 0.030 .mu.M against thrombin and plasmin, resp.

IT **173656-55-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of peptide aldehydes contg. methionine or cysteine sulfones as thrombin, factor Xa or plasmin inhibitors)

L25 ANSWER 7 OF 8 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1996:527345 HCAPLUS
DOCUMENT NUMBER: 125:196382
TITLE: Preparation of peptide aldehydes as inhibitors of factor Xa.
INVENTOR(S): Abelman, Matthew Mark; Miller, Todd Anthony; Nutt, Ruth Foelsche
PATENT ASSIGNEE(S): Corvas International, Inc., USA
SOURCE: PCT Int. Appl., 76 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9619493	A1	19960627	WO 1995-US16866	19951221

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TM, TT
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

US 5696231	A	19971209	US 1994-361794		19941221
US 6025472	A	20000215	US 1995-484509		19950607
AU 9646086	A1	19960710	AU 1996-46086		19951221
AU 716995	B2	20000316			
EP 801654	A1	19971022	EP 1995-944234		19951221
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV					
BR 9510264	A	19971104	BR 1995-10264		19951221
JP 10512550	T2	19981202	JP 1995-520031		19951221
NZ 300829	A	20010330	NZ 1995-300829		19951221
PRIORITY APPLN. INFO.:			US 1994-361794	A	19941221
			US 1995-484509	A	19950607
			WO 1995-US16866	W	19951221

OTHER SOURCE(S): MARPAT 125:196382

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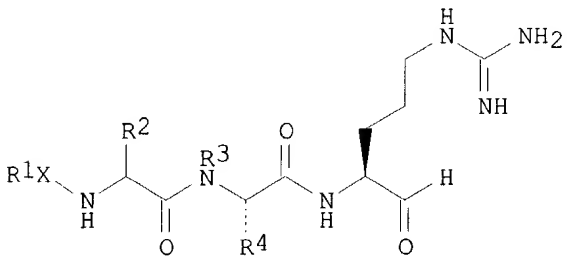

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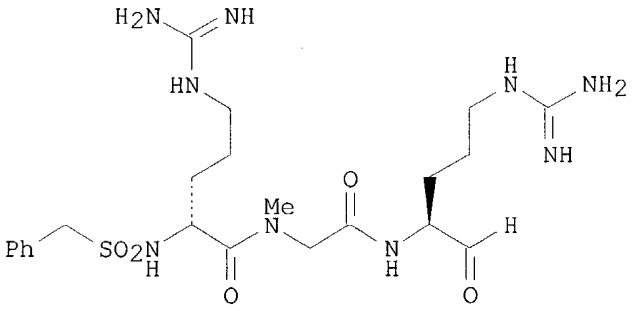

II

AB Title compds. [I; X = SO2, NR'SO2, CO, O2C, NHCO, P(O)R'', bond; R' = H, alkyl, aryl, aralkyl; R'' = NR', OR', R', SR'; R1 = H, (substituted) alkyl, cycloalkyl, heterocycloalkyl, heterocyclyl, alkenyl, aryl, heteroaryl, aralkyl, aralkenyl, CHF2, perfluoroalkyl, perfluoroaryl, etc.; R2 = H, tetrazol-5-ylalkyl, tetrazol-5-ylalkylsulfonylmethyl, pyridin-3-ylalkyl, guanidinoalkyl, methylsulfonylalkyl, etc.; R3 = H, (substituted) alkyl, cycloalkyl, aryl; R4 = H, (substituted) alkyl; with provisos], were prepd. Thus, title compd. (II), prepd. by soln. phase methods, inhibited factor Xa catalytic activity with IC50 = 1.7 nM.

IT **180312-25-8P 180313-16-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of peptide aldehydes as inhibitors of factor Xa)

L25 ANSWER 8 OF 8 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1995:998134 HCAPLUS
DOCUMENT NUMBER: 124:176949
TITLE: Preparation of methionine sulfone and S-substituted cysteine sulfone derivatives as inhibitors of thrombin or Factor Xa.
INVENTOR(S): Abelman, Matthew M.; Ardecky, Robert John; Nutt, Ruth Foelsche
PATENT ASSIGNEE(S): Corvas International, Inc., USA
SOURCE: PCT Int. Appl., 245 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9528420	A1	19951026	WO 1995-US4954	19950418
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5776927	A	19980707	US 1994-229298	19940418
US 5681844	A	19971028	US 1994-234811	19940428
AU 9523609	A1	19951110	AU 1995-23609	19950418
EP 765340	A1	19970402	EP 1995-917624	19950418
EP 765340	B1	20000830		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 09512022	T2	19971202	JP 1995-527181	19950418
AT 195947	E	20000915	AT 1995-917624	19950418

PRIORITY APPLN. INFO.:
US 1994-229298 A 19940418
US 1994-234811 A 19940428
WO 1995-US4954 W 19950418

OTHER SOURCE(S): MARPAT 124:176949
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. [I; X = CO, O2C, NHCO, SO2, OSO2, NRSO2; R = alkyl, aryl, aralkyl; R1 = alkyl, cycloalkylalkyl, alkenyl, cycloalkylalkenyl, perfluoroalkyl, perfluoroaryl, trimethylsilylalkyl, (substituted) aryl, aralkyl, aralkenyl, etc.; R2 = CH2SOqMe, CH2SOq(CH2)mCO2H, CH2SOq(CH2)mCO2R, etc.; m = 1-6; n = 1-3; q = 0-2], were prepd. for preventing thrombosis. Thus, title compd. (II) inhibited FeCl3-induced thrombosis in rats with ED50 = 0.7 mg/kg.

IT **173534-53-7P 173656-55-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of methionine sulfone and S-substituted cysteine sulfone derivs. as inhibitors of thrombin or Factor Xa)

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FILE 'CAOLD' ENTERED AT 10:04:03 ON 19 MAR 2003
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FILE LAST UPDATED:  01 May 1997 (19970501/UP)

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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   18 MAR 2003  HIGHEST RN 499968-86-4
DICTIONARY FILE UPDATES:  18 MAR 2003  HIGHEST RN 499968-86-4

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available.  See HELP
PROPERTIES for more information.  See STNote 27, Searching Properties
in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=> d ide can l24 tot

L24  ANSWER 1 OF 12  REGISTRY  COPYRIGHT 2003 ACS
RN   403669-39-6  REGISTRY
CN   Glycinamide, N-[[[3-[imino(methylamino)methyl]phenyl]methyl]sulfonyl]-L-
     .alpha.-glutamyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-N2-
     methyl-, methyl ester (9CI)  (CA INDEX NAME)
FS   STEREOSEARCH
MF   C24 H38 N8 O7 S
SR   CA
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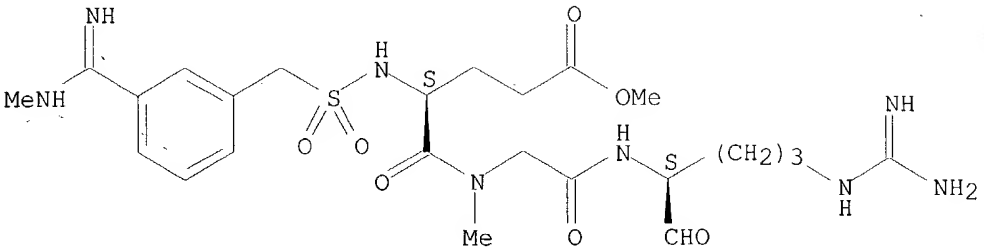
LC STN Files: CA, CAPLUS

Absolute stereochemistry.



#21

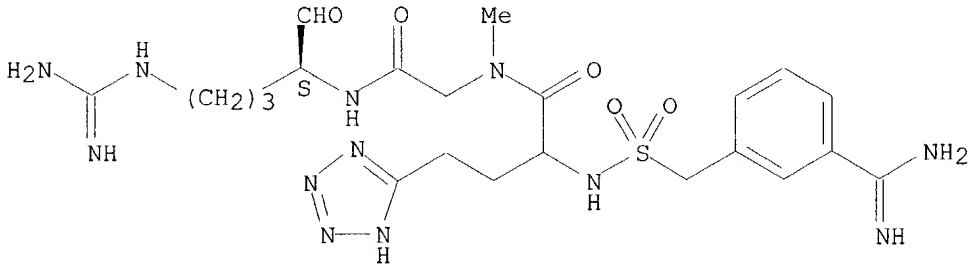
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1962 TO DATE)
2 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 136:232549

L24 ANSWER 2 OF 12 REGISTRY COPYRIGHT 2003 ACS
RN 403669-37-4 REGISTRY
CN 1H-Tetrazole-5-butanamide, N-[2-[[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]amino]-2-oxoethyl]-.alpha.-[[[[3-(aminoiminomethyl)phenyl]methyl]sulfonyl]amino]-N-methyl- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C22 H34 N12 O5 S
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.



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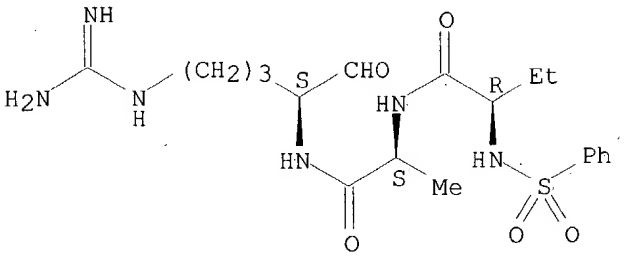
1 REFERENCES IN FILE CA (1962 TO DATE)
2 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 136:232549

L24 ANSWER 3 OF 12 REGISTRY COPYRIGHT 2003 ACS
RN 403669-34-1 REGISTRY
CN Butanamide, N-[(1S)-2-[[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]amino]-1-methyl-2-oxoethyl]-2-[(phenylsulfonyl)amino]-, (2R)-(9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C19 H30 N6 O5 S
SR CA

LC STN Files: CA, CAPLUS

Absolute stereochemistry.



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PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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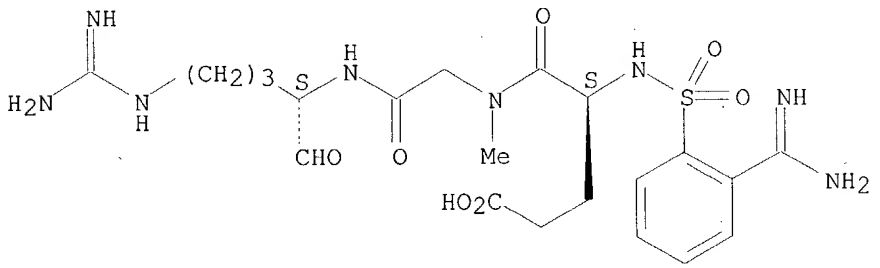
2 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 136:232549

L24 ANSWER 4 OF 12 REGISTRY COPYRIGHT 2003 ACS
RN 403669-33-0 REGISTRY
CN Glycinamide, N-[[2-(aminoiminomethyl)phenyl]sulfonyl]-L-.alpha.-glutamyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-N2-methyl- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C21 H32 N8 O7 S
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.



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2 REFERENCES IN FILE CAPLUS (1962 TO DATE)

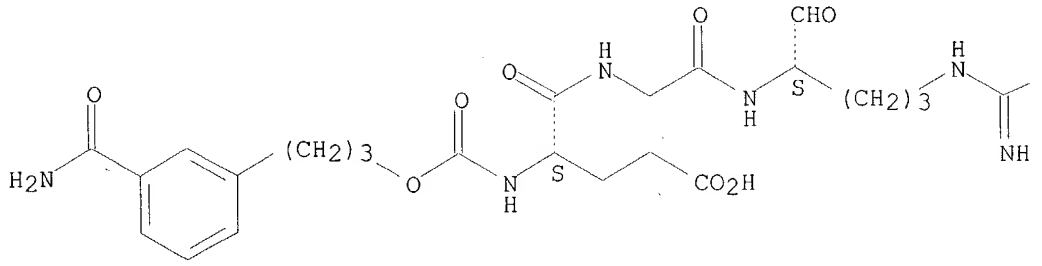
REFERENCE 1: 136:232549

L24 ANSWER 5 OF 12 REGISTRY COPYRIGHT 2003 ACS
RN 403669-32-9 REGISTRY
CN Glycinamide, N-[[3-[3-(aminocarbonyl)phenyl]propoxy]carbonyl]-L-.alpha.-glutamyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C24 H35 N7 O8
SR CA

LC STN Files: CA, CAPLUS

Absolute stereochemistry.

PAGE 1-A



#11

PAGE 1-B

NH2

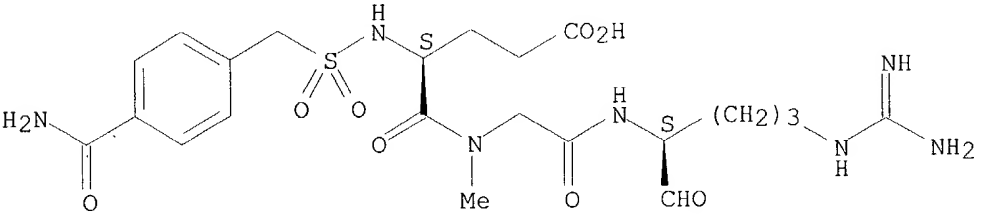
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1962 TO DATE)
2 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 136:232549

L24 ANSWER 6 OF 12 REGISTRY COPYRIGHT 2003 ACS
RN 403669-29-4 REGISTRY
CN Glycinamide, N-[[[4-(aminocarbonyl)phenyl]methyl]sulfonyl]-L-.alpha.-glutamyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-N2-methyl-(9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C22 H33 N7 O8 S
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.



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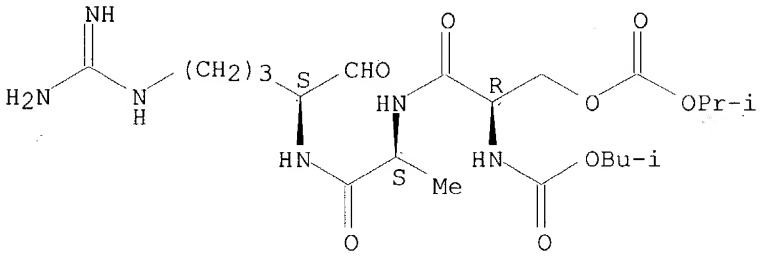
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1962 TO DATE)
2 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 136:232549

L24 ANSWER 7 OF 12 REGISTRY COPYRIGHT 2003 ACS
RN 403669-26-1 REGISTRY
CN L-Alaninamide, O-[(1-methylethoxy)carbonyl]-N-[(2-methylpropoxy)carbonyl]-D-seryl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C21 H38 N6 O8
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.



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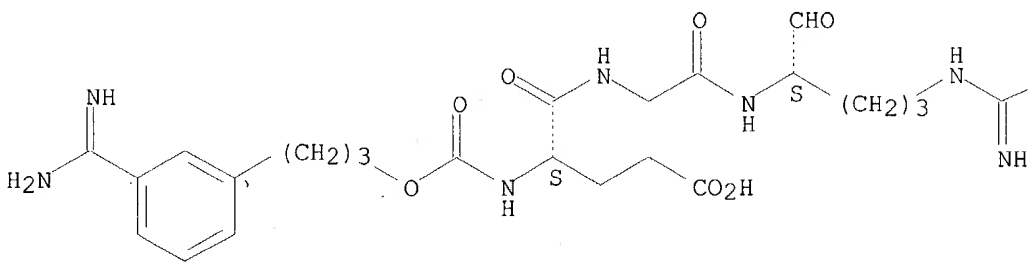
REFERENCE 1: 136:232549

L24 ANSWER 8 OF 12 REGISTRY COPYRIGHT 2003 ACS
RN 403669-25-0 REGISTRY
CN Glycinamide, N-[[3-[3-(aminoiminomethyl)phenyl]propoxy]carbonyl]-L-.alpha.-glutamyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C24 H36 N8 O7
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

PAGE 1-A



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PAGE 1-B

NH2

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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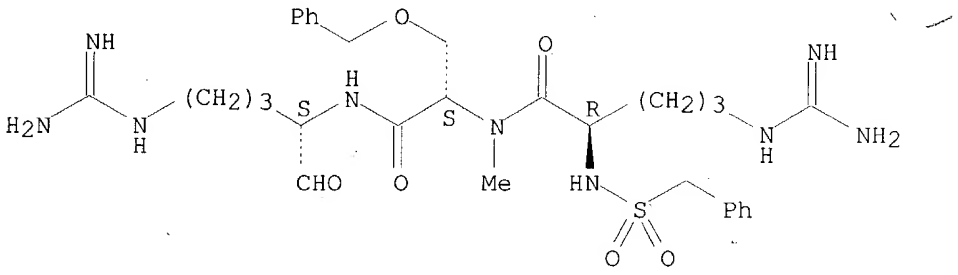
2 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 136:232549 — Sor #4

L24 ANSWER 9 OF 12 REGISTRY COPYRIGHT 2003 ACS
RN 180313-16-0 REGISTRY
CN L-Serinamide, N2-[(phenylmethyl)sulfonyl]-D-arginyl-N-[4-[(aminoiminomethyl)amino]-1-formylbutyl]-N2-methyl-O-(phenylmethyl)-, (S)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C30 H45 N9 O6 S
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



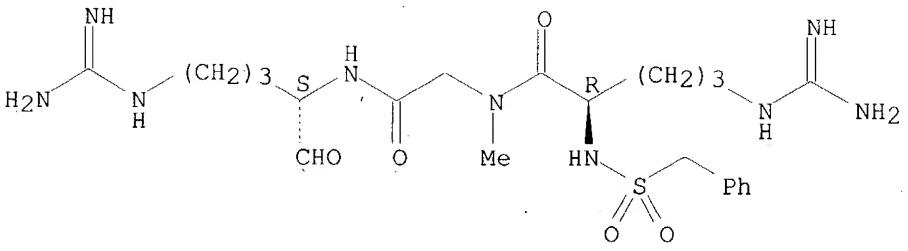
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 125:196382

L24 ANSWER 10 OF 12 REGISTRY COPYRIGHT 2003 ACS
RN 180312-25-8 REGISTRY
CN Glycinamide, N2-[(phenylmethyl)sulfonyl]-D-arginyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-N2-methyl- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Glycinamide, N2-[(phenylmethyl)sulfonyl]-D-arginyl-N-[4-[(aminoiminomethyl)amino]-1-formylbutyl]-N2-methyl-, (S)-
FS STEREOSEARCH
MF C22 H37 N9 O5 S
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



#17

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

4 REFERENCES IN FILE CA (1962 TO DATE)
5 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 136:232549

REFERENCE 2: 132:231498

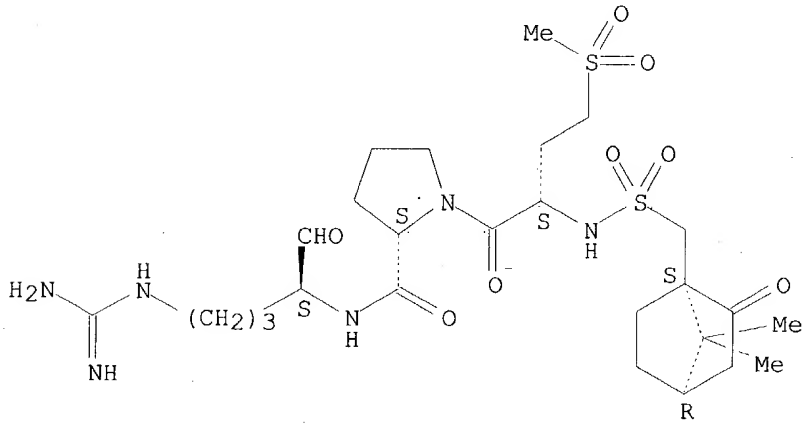
REFERENCE 3: 132:152140

REFERENCE 4: 125:196382

inst

L24 ANSWER 11 OF 12 REGISTRY COPYRIGHT 2003 ACS
RN 173656-55-8 REGISTRY
CN L-Prolinamide, (2S)-2-[[[(7,7-dimethyl-2-oxobicyclo[2.2.1]hept-1-yl)methyl]sulfonyl]amino]-4-(methylsulfonyl)butanoyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN L-Prolinamide, N-[[(7,7-dimethyl-2-oxobicyclo[2.2.1]hept-1-yl)methyl]sulfonyl]-4-(methylsulfonyl)-L-2-aminobutanoyl-N-[4-[(aminoiminomethyl)amino]-1-formylbutyl]-, [1(1S),2(S)]-
FS STEREOSEARCH
MF C26 H44 N6 O8 S2
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



#15

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

4 REFERENCES IN FILE CA (1962 TO DATE)
5 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 136:232549

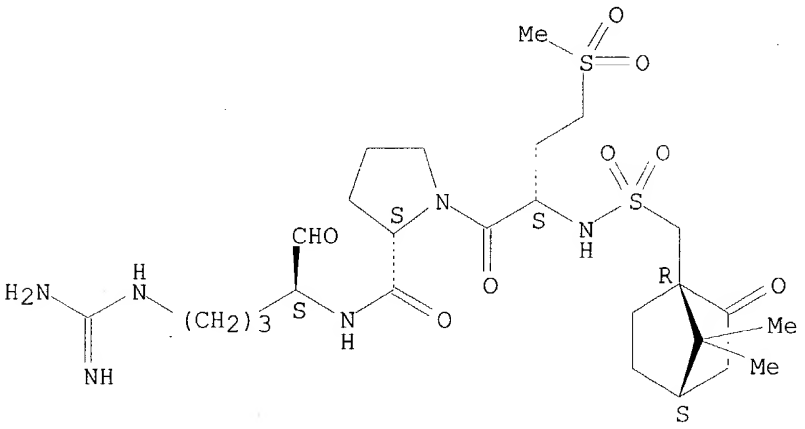
REFERENCE 2: 127:346661

REFERENCE 3: 127:220992

REFERENCE 4: 124:176949

L24 ANSWER 12 OF 12 REGISTRY COPYRIGHT 2003 ACS
RN 173534-53-7 REGISTRY
CN L-Prolinamide, N-[[(7,7-dimethyl-2-oxobicyclo[2.2.1]hept-1-yl)methyl]sulfonyl]-4-(methylsulfonyl)-L-2-aminobutanoyl-N-[4-[(aminoiminomethyl)amino]-1-formylbutyl]-, [1(1R),2(S)]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C26 H44 N6 O8 S2
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



#15

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1962 TO DATE)
2 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 127:346661

REFERENCE 2: 124:176949